```
FULL SEARCH INITIATED 14:18:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   3542 TO ITERATE

100.0% PROCESSED    3542 ITERATIONS                          150 ANSWERS
SEARCH TIME: 00.00.01

L3          150 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 156.26     156.47

FILE 'HCAPLUS' ENTERED AT 14:18:52 ON 31 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
the American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 31 Mar 2004  VOL 140 ISS 14
FILE LAST UPDATED: 30 Mar 2004  (20040330/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l3
L4          28 L3

=> s l4 and yu, k?/au
          2573 YU, K?/AU
L5           2 L4 AND YU, K?/AU

=> d l5, ibib abs fhitstr, 1-2

L5   ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2004 ACS on STN
```

Full Text | Citing References

```
ACCESSION NUMBER:        2003:511082  HCAPLUS
DOCUMENT NUMBER:         139:85343
TITLE:                   Preparation of 2-(heterocyclylmethyl)benzimidazoles as
                         respiratory syncytial virus antiviral agents
INVENTOR(S):             Yu, Kuo-long; Wang, Xiangdong; Sun, Yaxiong; Cianci,
                         Christopher; Thuring, Jan Willem; Combrink, Keith;
                         Meanwell, Nicholas; Zhang, Yi; Civiello, Rita L.
PATENT ASSIGNEE(S):      Bristol-Myers Squibb Company, USA
SOURCE:                  PCT Int. Appl., 149 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
```

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

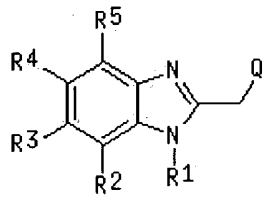
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053344	A2	20030703	WO 2002-US39220	20021206
WO 2003053344	A3	20031113		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

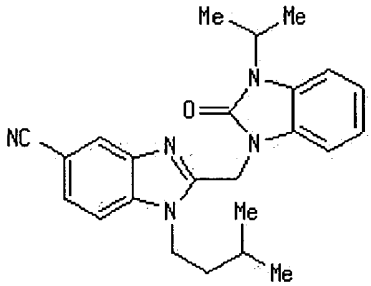
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003207868	A1	20031106	US 2002-309505	20021204

PRIORITY APPLN. INFO.: US 2001-339025P P 20011210
OTHER SOURCE(S): MARPAT 139:85343
GI



I



II

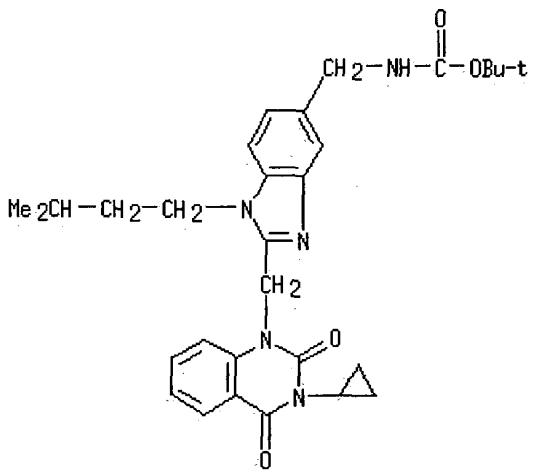
AB Title compds. I [wherein R1 = (CRaRb)nX; R2 = H; R3 = CONRhRi, CO2Rd, or (un)substituted alkyl; R4 = NH2, CONRhRi, heteroaryl, alkenyl, CO2Rd, N=CPh2, C(NOH)NH2, C(NH)NH2, or (un)substituted alkyl; R5 = CO2Rj or (un)substituted alkyl or alkenyl; Q = (un)substituted benzimidazolyl, benzotriazolyl, imidazopyridinyl, quinolinyl, quinazolinyl, benzyloxy, etc.; X = H or (un)substituted alkyl; Ra and Rb = independently H or (halo)alkyl; Rd = alkyl; Rh and Ri = independently H or alkyl; Rj = H or alkyl; n = 1-6; and pharmaceutically acceptable salts thereof] were prepd. as antiviral compds. More particularly, the invention provides 2-(heterocyclylmethyl)benzimidazole derivs. for the treatment of respiratory syncytial virus (RSV) infection. For example, 1-isopropyl-1,3-dihydrobenzimidazol-2-one was coupled with 2-chloromethyl-1-(3-methylbutyl)-1H-benzimidazole-5-carbonitrile in the presence of Cs2CO3 in DMF to give II (95%). Disclosed compds. protected HEp-2 cells from RSV-induced cytopathic effects with EC50 values between 50 μM and 0.001 μM, compared to an EC50 of 3 μM for ribavirin. I also displayed antiviral activity by reducing viral protein expression in HEp-2 cells with EC50 values between 50 μM and 0.001 μM, compared to an EC50 value of 3 μM for ribavirin. Thus, I and compns. comprising I are useful for the treatment of RSV infections.

IT **554458-05-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(antiviral agent; prepn. of (heterocyclylmethyl)benzimidazoles as RSV antiviral agents)

RN 554458-05-8 HCAPLUS

CN Carbamic acid, [[2-[(3-cyclopropyl-3,4-dihydro-2,4-dioxo-1(2H)-quinazolinyl)methyl]-1-(3-methylbutyl)-1H-benzimidazol-5-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:556140 HCAPLUS
DOCUMENT NUMBER: 137:125159
TITLE: Preparation and antiviral activity of heterocyclic substituted 2-methylbenzimidazole antiviral agents
INVENTOR(S): **Yu, Kuo-Long**; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas; Venables, Brian Lee; Zhang, Yi; Pearce, Bradley C.; Yin, Zhiwei; Thuring, Jan Willem
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 89 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099208	A1	20020725	US 2001-994012	20011116
WO 2002062290	A2	20020815	WO 2001-US45149	20011120
WO 2002062290	A3	20021121		

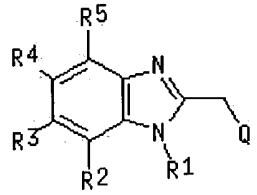
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

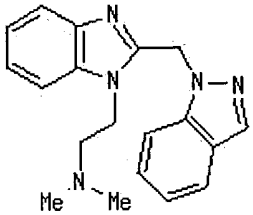
EP 1343499	A2	20030917	EP 2001-270116	20011120

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 2000-257139P P 20001220
WO 2001-US45149 W 20011120
OTHER SOURCE(S): MARPAT 137:125159
GI



I

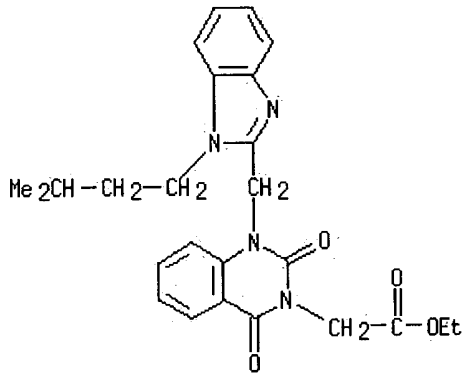


II

AB The title compds. [I; R1 = (CRaRb)nX; Ra, Rb = independently H, C1-6 (un)substituted alkyl; X = H, C1-6 (un)substituted alkyl; n = 1-6; R2, R5 = independently H or halogen; R3, R4 = independently H, halogen, C1-6 (un)substituted alkyl; Q = heterocyclic group], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepd. E.g., a four-step synthesis of II, starting with 2-(chloromethyl)benzimidazole, was given. The antiviral activity of these compds. against respiratory syncytial virus (RSV) was detd. in HEp-2 (ATCC CCL 23) cells. The title compds. I, disclosed herein, show antiviral activity with EC50s between 50 μM and 0.001 μM.

IT **443987-05-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. and use of heterocyclic substituted 2-methyl-benzimidazole antiviral agents)

RN 443987-05-1 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 14:16:55 ON 31 MAR 2004)

FILE 'REGISTRY' ENTERED AT 14:17:09 ON 31 MAR 2004
L1 STRUCTURE UPLOADED

```
L2             5 S L1
L3           150 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 14:18:52 ON 31 MAR 2004
L4            28 S L3
L5             2 S L4 AND YU, K?/AU

=> s l4 not l5
L6            26 L4 NOT L5

=> s l6 and civiello, r?/au
             12 CIVIELLO, R?/AU
L7            0 L6 AND CIVIELLO, R?/AU

=> s l4 and combrink, k?/au
             28 COMBRINK, K?/AU
L8            2 L4 AND COMBRINK, K?/AU

=> s l8 not l5
L9            0 L8 NOT L5

=> s l4 and sin, n?/au
             24 SIN, N?/AU
L10           1 L4 AND SIN, N?/AU

=> s l10 not l8
L11           0 L10 NOT L8

=> s l4 and wang, x?/au
          28845 WANG, X?/AU
L12           2 L4 AND WANG, X?/AU

=> s l12 not l8
L13           0 L12 NOT L8

=> s  l4 and meanwell, n?/au
            153 MEANWELL, N?/AU
L14           2 L4 AND MEANWELL, N?/AU

=> s l14 not l8
L15           0 L14 NOT L8

=> s l4 adn venables, b?/au
MISSING OPERATOR L4 ADN
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s l4 and venables, b?/au
             40 VENABLES, B?/AU
L16           1 L4 AND VENABLES, B?/AU

=> d l16, ibib abs fhitstr, 1

L16  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2004 ACS on STN
```

Full Text | Citing References

```
ACCESSION NUMBER:         2002:556140  HCAPLUS
DOCUMENT NUMBER:          137:125159
TITLE:                    Preparation and antiviral activity of heterocyclic
                          substituted 2-methylbenzimidazole antiviral agents
```

INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas; **Venables, Brian Lee**; Zhang, Yi; Pearce, Bradley C.; Yin, Zhiwei; Thuring, Jan Willem
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 89 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099208	A1	20020725	US 2001-994012	20011116
WO 2002062290	A2	20020815	WO 2001-US45149	20011120
WO 2002062290	A3	20021121		

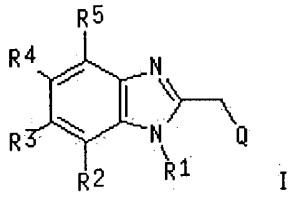
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1343499	A2	20030917	EP 2001-270116	20011120

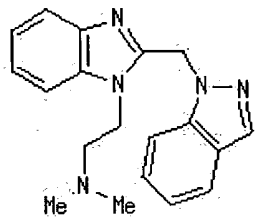
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:	US 2000-257139P	P	20001220
	WO 2001-US45149	W	20011120

OTHER SOURCE(S): MARPAT 137:125159
GI



I



II

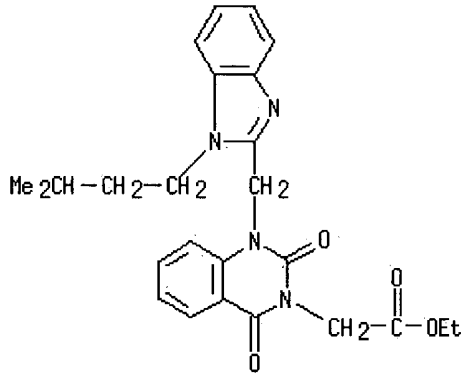
AB The title compds. [I; R1 = (CRaRb)nX; Ra, Rb = independently H, C1-6 (un)substituted alkyl; X = H, C1-6 (un)substituted alkyl; n = 1-6; R2, R5 = independently H or halogen; R3, R4 = independently H, halogen, C1-6 (un)substituted alkyl; Q = heterocyclic group], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepd. E.g., a four-step synthesis of II, starting with 2-(chloromethyl)benzimidazole, was given. The antiviral activity of these compds. against respiratory syncytial virus (RSV) was detd. in HEp-2 (ATCC CCL 23) cells. The title compds. I, disclosed herein, show antiviral activity with EC50s between 50 μM and 0.001 μM.

IT **443987-05-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. and use of heterocyclic substituted 2-methyl-benzimidazole antiviral agents)

RN 443987-05-1 HCAPLUS

CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)




```
=> d his

     (FILE 'HOME' ENTERED AT 14:16:55 ON 31 MAR 2004)

     FILE 'REGISTRY' ENTERED AT 14:17:09 ON 31 MAR 2004
L1             STRUCTURE UPLOADED
L2               5 S L1
L3             150 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 14:18:52 ON 31 MAR 2004
L4              28 S L3
L5               2 S L4 AND YU, K?/AU
L6              26 S L4 NOT L5
L7               0 S L6 AND CIVIELLO, R?/AU
L8               2 S L4 AND COMBRINK, K?/AU
L9               0 S L8 NOT L5
L10              1 S L4 AND SIN, N?/AU
L11              0 S L10 NOT L8
L12              2 S L4 AND WANG, X?/AU
L13              0 S L12 NOT L8
L14              2 S  L4 AND MEANWELL, N?/AU
L15              0 S L14 NOT L8
L16              1 S L4 AND VENABLES, B?/AU

=> s l4 and zhang, y?/au
          31156 ZHANG, Y?/AU
L17              2 L4 AND ZHANG, Y?/AU

=> s l17 not l5
L18              0 L17 NOT L5

=> s l4 and pearc, b?/au
                 0 PEARC, B?/AU
L19              0 L4 AND PEARC, B?/AU
```

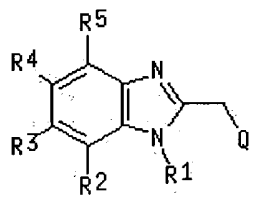
```
=> s l4 and yin, z?/au
          1662 YIN, Z?/AU
L20          1 L4 AND YIN, Z?/AU

=> d l20, ibib abs fhitstr, 1

L20  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2004 ACS on STN
```

Full Text | Citing References

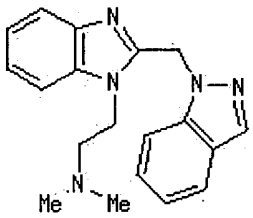
ACCESSION NUMBER: 2002:556140 HCAPLUS
DOCUMENT NUMBER: 137:125159
TITLE: Preparation and antiviral activity of heterocyclic substituted 2-methylbenzimidazole antiviral agents
INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas; Venables, Brian Lee; Zhang, Yi; Pearce, Bradley C.; **Yin, Zhiwei**; Thuring, Jan Willem
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 89 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099208	A1	20020725	US 2001-994012	20011116
WO 2002062290	A2	20020815	WO 2001-US45149	20011120
WO 2002062290	A3	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1343499	A2	20030917	EP 2001-270116	20011120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-257139P P 20001220
WO 2001-US45149 W 20011120
OTHER SOURCE(S): MARPAT 137:125159
GI



I



II

AB The title compds. [I; R1 = (CRaRb)nX; Ra, Rb = independently H, C1-6

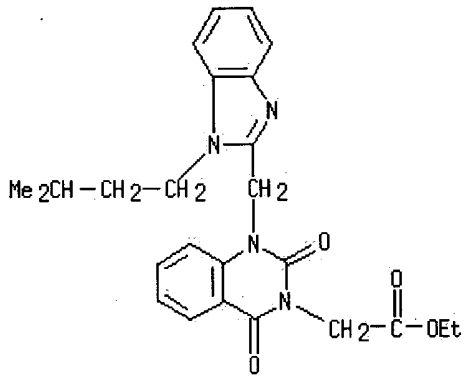
(un)substituted alkyl; X = H, C1-6 (un)substituted alkyl; n = 1-6; R2, R5 = independently H or halogen; R3, R4 = independently H, halogen, C1-6 (un)substituted alkyl; Q = heterocyclic group], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepd. E.g., a four-step synthesis of II, starting with 2-(chloromethyl)benzimidazole, was given. The antiviral activity of these compds. against respiratory syncytial virus (RSV) was detd. in HEp-2 (ATCC CCL 23) cells. The title compds. I, disclosed herein, show antiviral activity with EC50s between 50 μM and 0.001 μM.

IT **443987-05-1P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. and use of heterocyclic substituted 2-methyl-benzimidazole antiviral agents)

RN 443987-05-1 HCAPLUS

CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



=> **d his**

(FILE 'HOME' ENTERED AT 14:16:55 ON 31 MAR 2004)

FILE 'REGISTRY' ENTERED AT 14:17:09 ON 31 MAR 2004

L1 STRUCTURE UPLOADED
L2 5 S L1
L3 150 S L1 FULL

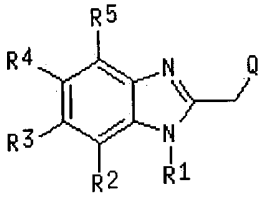
FILE 'HCAPLUS' ENTERED AT 14:18:52 ON 31 MAR 2004

L4 28 S L3
L5 2 S L4 AND YU, K?/AU
L6 26 S L4 NOT L5
L7 0 S L6 AND CIVIELLO, R?/AU
L8 2 S L4 AND COMBRINK, K?/AU
L9 0 S L8 NOT L5
L10 1 S L4 AND SIN, N?/AU
L11 0 S L10 NOT L8
L12 2 S L4 AND WANG, X?/AU
L13 0 S L12 NOT L8
L14 2 S L4 AND MEANWELL, N?/AU
L15 0 S L14 NOT L8
L16 1 S L4 AND VENABLES, B?/AU

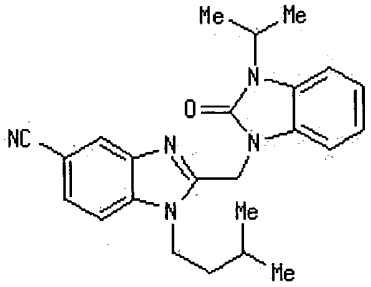
```
L17             2 S L4 AND ZHANG, Y?/AU
L18             0 S L17 NOT L5
L19             0 S L4 AND PEARC, B?/AU
L20             1 S L4 AND YIN, Z?/AU

=> s l4 and thuring, j?/au
            37 THURING, J?/AU
L21          2 L4 AND THURING, J?/AU

=> d l21, ibib abs fhitstr, 1-2

L21  ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2004 ACS on STN
```

Full Text | Citing References

```
ACCESSION NUMBER:          2003:511082  HCAPLUS
DOCUMENT NUMBER:           139:85343
TITLE:                     Preparation of 2-(heterocyclylmethyl)benzimidazoles as
                           respiratory syncytial virus antiviral agents
INVENTOR(S):               Yu, Kuo-long; Wang, Xiangdong; Sun, Yaxiong; Cianci,
                           Christopher; Thuring, Jan Willem; Combrink, Keith;
                           Meanwell, Nicholas; Zhang, Yi; Civiello, Rita L.
PATENT ASSIGNEE(S):        Bristol-Myers Squibb Company, USA
SOURCE:                    PCT Int. Appl., 149 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:             Patent
LANGUAGE:                  English
FAMILY ACC. NUM. COUNT:    1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     WO 2003053344      A2   20030703       WO 2002-US39220  20021206
     WO 2003053344      A3   20031113
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     US 2003207868      A1   20031106       US 2002-309505   20021204
PRIORITY APPLN. INFO.:                     US 2001-339025P  P  20011210
OTHER SOURCE(S):           MARPAT 139:85343
GI
```



I



II

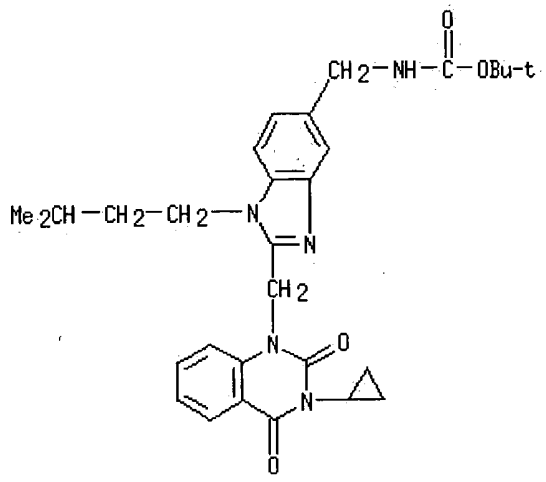
AB Title compds. I [wherein R1 = (CRaRb)nX; R2 = H; R3 = CONRhRi, CO2Rd, or (un)substituted alkyl; R4 = NH2, CONRhRi, heteroaryl, alkenyl, CO2Rd, N=CPh2, C(NOH)NH2, C(NH)NH2, or (un)substituted alkyl; R5 = CO2Rj or (un)substituted alkyl or alkenyl; Q = (un)substituted benzimidazolyl, benzotriazolyl, imidazopyridinyl, quinolinyl, quinazolinyl, benzyloxy, etc.; X = H or (un)substituted alkyl; Ra and Rb = independently H or (halo)alkyl; Rd = alkyl; Rh and Ri = independently H or alkyl; Rj = H or alkyl; n = 1-6; and pharmaceutically acceptable salts thereof] were prepd. as antiviral compds. More particularly, the invention provides 2-(heterocyclylmethyl)benzimidazole derivs. for the treatment of respiratory syncytial virus (RSV) infection. For example, 1-isopropyl-1,3-dihydrobenzimidazol-2-one was coupled with 2-chloromethyl-1-(3-methylbutyl)-1H-benzimidazole-5-carbonitrile in the presence of Cs2CO3 in DMF to give II (95%). Disclosed compds. protected HEp-2 cells from RSV-induced cytopathic effects with EC50 values between 50 μM and 0.001 μM, compared to an EC50 of 3 μM for ribavirin. I also displayed antiviral activity by reducing viral protein expression in HEp-2 cells with EC50 values between 50 μM and 0.001 μM, compared to an EC50 value of 3 μM for ribavirin. Thus, I and compns. comprising I are useful for the treatment of RSV infections.

IT **554458-05-8P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antiviral agent; prepn. of (heterocyclylmethyl)benzimidazoles as RSV antiviral agents)

RN 554458-05-8 HCAPLUS

CN Carbamic acid, [[2-[(3-cyclopropyl-3,4-dihydro-2,4-dioxo-1(2H)-quinazolinyl)methyl]-1-(3-methylbutyl)-1H-benzimidazol-5-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L21 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

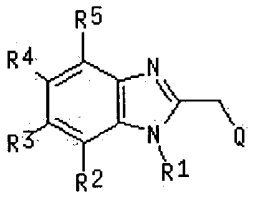
Full Text | Citing References

ACCESSION NUMBER: 2002:556140 HCAPLUS
DOCUMENT NUMBER: 137:125159
TITLE: Preparation and antiviral activity of heterocyclic substituted 2-methylbenzimidazole antiviral agents
INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas; Venables, Brian Lee; Zhang, Yi; Pearce, Bradley C.; Yin, Zhiwei; **Thuring, Jan Willem**
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 89 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

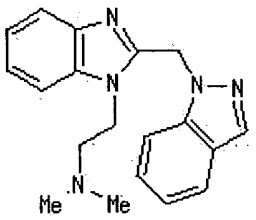
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099208	A1	20020725	US 2001-994012	20011116
WO 2002062290	A2	20020815	WO 2001-US45149	20011120
WO 2002062290	A3	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1343499	A2	20030917	EP 2001-270116	20011120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-257139P P 20001220
WO 2001-US45149 W 20011120

OTHER SOURCE(S): MARPAT 137:125159
GI



I



II

AB The title compds. [I; R1 = (CRaRb)nX; Ra, Rb = independently H, C1-6 (un)substituted alkyl; X = H, C1-6 (un)substituted alkyl; n = 1-6; R2, R5 = independently H or halogen; R3, R4 = independently H, halogen, C1-6 (un)substituted alkyl; Q = heterocyclic group], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepd. E.g., a four-step synthesis of II, starting with 2-(chloromethyl)benzimidazole, was given. The antiviral activity of these compds. against respiratory syncytial virus (RSV) was

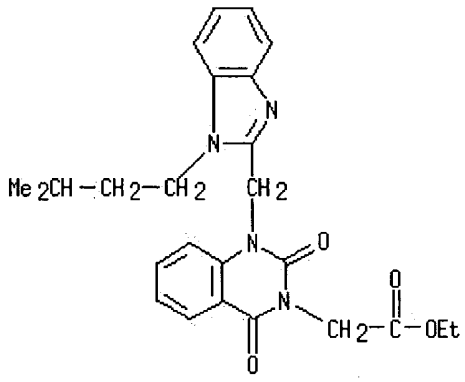
detd. in HEp-2 (ATCC CCL 23) cells. The title compds. I, disclosed herein, show antiviral activity with EC50s between 50 μM and 0.001 μM.

IT **443987-05-1P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. and use of heterocyclic substituted 2-methyl-benzimidazole antiviral agents)

RN 443987-05-1 HCAPLUS

CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



=> **file caold**

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	45.06	201.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.16	-4.16

FILE 'CAOLD' ENTERED AT 14:23:17 ON 31 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

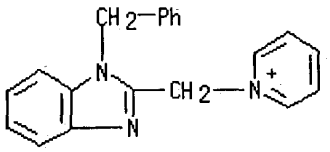
FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> **d his**

```
     (FILE 'HOME' ENTERED AT 14:16:55 ON 31 MAR 2004)

     FILE 'REGISTRY' ENTERED AT 14:17:09 ON 31 MAR 2004
L1             STRUCTURE UPLOADED
L2               5 S L1
L3             150 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 14:18:52 ON 31 MAR 2004
L4              28 S L3
L5               2 S L4 AND YU, K?/AU
L6              26 S L4 NOT L5
L7               0 S L6 AND CIVIELLO, R?/AU
L8               2 S L4 AND COMBRINK, K?/AU
L9               0 S L8 NOT L5
L10              1 S L4 AND SIN, N?/AU
L11              0 S L10 NOT L8
L12              2 S L4 AND WANG, X?/AU
L13              0 S L12 NOT L8
L14              2 S  L4 AND MEANWELL, N?/AU
L15              0 S L14 NOT L8
L16              1 S L4 AND VENABLES, B?/AU
L17              2 S L4 AND ZHANG, Y?/AU
L18              0 S L17 NOT L5
L19              0 S L4 AND PEARC, B?/AU
L20              1 S L4 AND YIN, Z?/AU
L21              2 S L4 AND THURING, J?/AU

     FILE 'CAOLD' ENTERED AT 14:23:17 ON 31 MAR 2004

=> s l3
L22              1 L3

=> d l22, all, 1

L22  ANSWER 1 OF 1  CAOLD  COPYRIGHT 2004 ACS on STN
AN   CA52:13005d  CAOLD
TI   benzimidazoles as specific inhibitors of vitamin B12 or thymine in
     bacterial mutants
AU   Scott, Dwight B. M.; Rogers, M. L.; Rose, C.
IT     53-82-7     585-95-5    3363-56-2    4887-80-3    4887-82-5    6478-73-5
     7479-04-1  10527-53-4  10597-49-6  10597-50-9  10597-51-0  10597-52-1
     10597-54-3  10597-55-4  15476-97-8  21087-77-4  23249-97-0  30411-81-5
     37724-28-0  50607-90-4  55299-95-1  82326-55-4 100958-72-3 101083-91-4
     101861-05-6 102169-82-4 109670-23-7


=> fil reg; d acc 109670-23-7; fil CAOLD

FILE 'REGISTRY' ENTERED AT 14:23:32 ON 31 MAR 2004


ANSWER 1  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   109670-23-7  REGISTRY
CN   Pyridinium, 1-[[1-(phenylmethyl)-1H-benzimidazol-2-yl]methyl]-, chloride
     (9CI)  (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   1-(1-Benzyl-2-benzimidazolylmethyl)pyridinium chloride (6CI)
MF   C20 H18 N3 . Cl
SR   CAOLD
LC   STN Files:   CAOLD
```



Cl^-

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 14:23:32 ON 31 MAR 2004

=> **file reg**

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	205.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-4.16

FILE 'REGISTRY' ENTERED AT 14:23:37 ON 31 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 30 MAR 2004 HIGHEST RN 669048-54-8
DICTIONARY FILE UPDATES: 30 MAR 2004 HIGHEST RN 669048-54-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

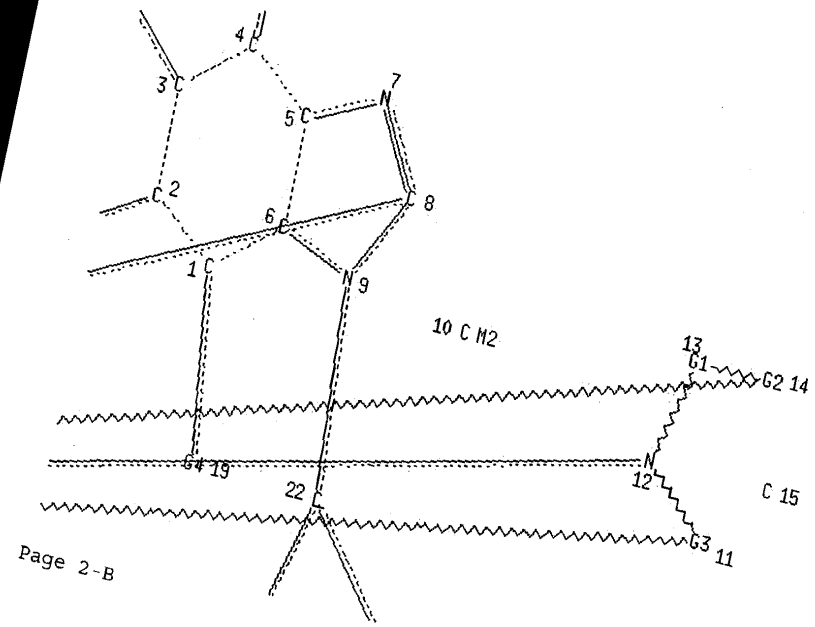
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

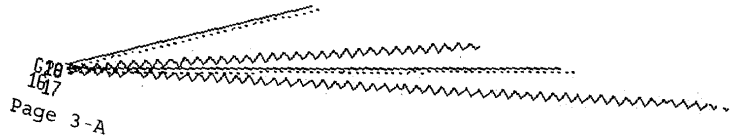
=>
L23 STRUCTURE UPLOADED

=> **d 123**
L23 HAS NO ANSWERS
L23 STR

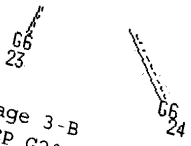
Ak 39H 40
X 36 Ak 37H 38
X 34 H 35
C 32 N 33
C 28 O 29 S 30 N 31
C 25 S 26 N 27
Page 1-A
G4 18
Page 1-B
20 G5
21 G5
Page 2-A



Page 2-B



Page 3-A



Page 3-B

```
REP G20=(1-2) 10-8 10-12
VAR G1=25/26/27
VAR G2=28/29/30/31
VAR G3=32/33
VAR G4=34/35
VAR G5=36/37/38
VAR G6=39/40
REP G19=(1-2) 15-14 15-11
NODE ATTRIBUTES:
HCOUNT   IS M2
NSPEC    IS R       AT  10
NSPEC    IS R       AT   1
NSPEC    IS R       AT   2
NSPEC    IS R       AT   3
NSPEC    IS R       AT   4
NSPEC    IS R       AT   5
NSPEC    IS R       AT   6
NSPEC    IS R       AT   7
NSPEC    IS R       AT   8
NSPEC    IS C       AT   9
NSPEC    IS R       AT  10
NSPEC    IS R       AT  11
                    AT  12
```

```
NSPEC   IS R      AT  13
NSPEC   IS R      AT  14
NSPEC   IS R      AT  15
NSPEC   IS C      AT  16
NSPEC   IS R      AT  17
NSPEC   IS C      AT  18
NSPEC   IS C      AT  19
NSPEC   IS C      AT  20
NSPEC   IS C      AT  21
NSPEC   IS C      AT  22
NSPEC   IS C      AT  23
NSPEC   IS C      AT  24
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS  AT  10 22 34 35 36 37 38 39 40
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   8
NUMBER OF NODES IS  40

STEREO ATTRIBUTES: NONE


=> s 123
SAMPLE SEARCH INITIATED 14:25:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    526 TO ITERATE

100.0% PROCESSED     526 ITERATIONS                                50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          9145 TO    11895
PROJECTED ANSWERS:             1147 TO     2253

L24          50 SEA SSS SAM L23

=> s 123 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 14:25:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  11076 TO ITERATE

100.0% PROCESSED   11076 ITERATIONS                           1620 ANSWERS
SEARCH TIME: 00.00.01

L25        1620 SEA SSS FUL L23

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   156.26     361.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -4.16

FILE 'HCAPLUS' ENTERED AT 14:25:26 ON 31 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
```

```
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 31 Mar 2004  VOL 140 ISS 14
FILE LAST UPDATED: 30 Mar 2004  (20040330/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l25
L26         212 L25

=> s l26 and civiello, r?/au
            12 CIVIELLO, R?/AU
L27          6 L26 AND CIVIELLO, R?/AU

=> d his

     (FILE 'HOME' ENTERED AT 14:16:55 ON 31 MAR 2004)

     FILE 'REGISTRY' ENTERED AT 14:17:09 ON 31 MAR 2004
L1              STRUCTURE UPLOADED
L2            5 S L1
L3          150 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 14:18:52 ON 31 MAR 2004
L4           28 S L3
L5            2 S L4 AND YU, K?/AU
L6           26 S L4 NOT L5
L7            0 S L6 AND CIVIELLO, R?/AU
L8            2 S L4 AND COMBRINK, K?/AU
L9            0 S L8 NOT L5
L10           1 S L4 AND SIN, N?/AU
L11           0 S L10 NOT L8
L12           2 S L4 AND WANG, X?/AU
L13           0 S L12 NOT L8
L14           2 S  L4 AND MEANWELL, N?/AU
L15           0 S L14 NOT L8
L16           1 S L4 AND VENABLES, B?/AU
L17           2 S L4 AND ZHANG, Y?/AU
L18           0 S L17 NOT L5
L19           0 S L4 AND PEARC, B?/AU
L20           1 S L4 AND YIN, Z?/AU
L21           2 S L4 AND THURING, J?/AU

     FILE 'CAOLD' ENTERED AT 14:23:17 ON 31 MAR 2004
L22           1 S L3
```

```
     FILE 'REGISTRY' ENTERED AT 14:23:32 ON 31 MAR 2004

     FILE 'CAOLD' ENTERED AT 14:23:32 ON 31 MAR 2004

     FILE 'REGISTRY' ENTERED AT 14:23:37 ON 31 MAR 2004
L23             STRUCTURE UPLOADED
L24          50 S L23
L25        1620 S L23 FULL

     FILE 'HCAPLUS' ENTERED AT 14:25:26 ON 31 MAR 2004
L26         212 S L25
L27           6 S L26 AND CIVIELLO, R?/AU

=> s l27 not l5
L28           4 L27 NOT L5

=> s l28 not l8
L29           4 L28 NOT L8

=> s l29 not l10
L30           4 L29 NOT L10

=> s l30 not l12
L31           4 L30 NOT L12

=> s l30 not l14
L32           4 L30 NOT L14

=> l 30 not l16
L IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l30 not l16
L33           4 L30 NOT L16

=> s l30 not l17
L34           4 L30 NOT L17

=> s l33 not l20
L35           4 L33 NOT L20

=> s l33 not l21
L36           4 L33 NOT L21

=> d l33, ibib abs fhitstr, 1-4

L33  ANSWER 1 OF 4  HCAPLUS  COPYRIGHT 2004 ACS on STN
```

Full Text | Citing References

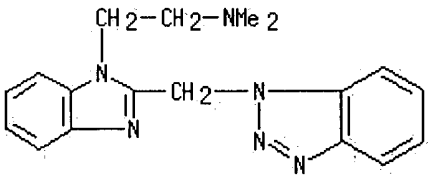
```
ACCESSION NUMBER:         2003:442751  HCAPLUS
DOCUMENT NUMBER:          139:159456
TITLE:                    Fundamental structure-activity relationships
                          associated with a new structural class of respiratory
                          syncytial virus inhibitor
AUTHOR(S):                Yu, Kuo-Long; Zhang, Yi; Civiello, Rita L.; Kadow,
                          Kathleen F.; Cianci, Christopher; Krystal, Mark;
                          Meanwell, Nicholas A.
CORPORATE SOURCE:         Department of Chemistry, The Bristol-Myers Squibb
```

Pharmaceutical Research Institute, Wallingford, CT, 06492, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(13), 2141-2144
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:159456

AB Structure-activity relationships surrounding the dialkylamino side chain of a series of benzotriazole-derived inhibitors of respiratory syncytial virus fusion were examd. The results indicate that the topol. of the side chain is important but the terminus element offers considerable latitude to modulate phys. properties.

IT **5823-60-9**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fundamental structure-activity relationships assocd. with a new structural class of respiratory syncytial virus inhibitor)

RN 5823-60-9 HCAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-(1H-benzotriazol-1-ylmethyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:256041 HCAPLUS
DOCUMENT NUMBER: 136:294826
TITLE: Preparation of benzimidazolone antiviral agents
INVENTOR(S): Yu, Kuo-Long; **Civiello, Rita**; Combrink, Keith; Gulgeze, Hatice Belgin; Pearce, Bradley C.; Wang, Xiangdong; Meanwell, Nicholas A.; Zhang, Yi
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 216 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026228	A1	20020404	WO 2001-US29493	20010927

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

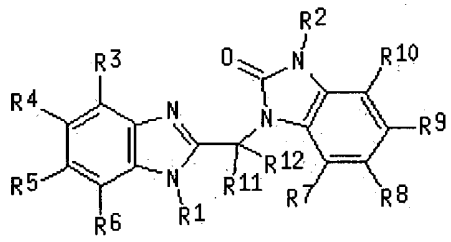
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 6506738 B1 20030114 US 2001-952736 20010914

PRIORITY APPLN. INFO.: US 2000-235804P P 20000927

OTHER SOURCE(S): MARPAT 136:294826

GI



I

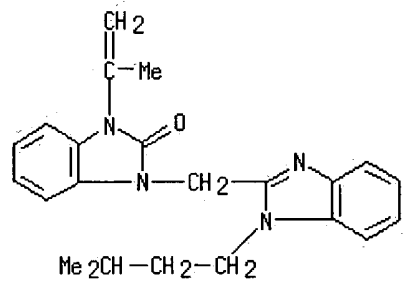
AB The title compds. [I; R1 = (CRvRw)nX; Rv, Rw = H, (halo)alkyl, (halo)alkenyl; X = H, (un)substituted alkyl, alkenyl; n = 1-6; R2 = H, alkyl, Ph, etc.; R3, R6, R7, R10 = H; R5, R8, R9 = H, halo, CF3; R4 = H, halo, CN, etc.; R11, R12 = H], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepd. E.g., a 4-step synthesis of I [R1 = CH2CH2CHMe2; R2 = C(:CH2)Me; R3-R12 = H], starting with 2-(chloromethyl)benzimidazole, was given. The title compds. I showed antiviral activity against RSV with EC50's between 50 μM and 0.001 μM.

IT **406940-52-1P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of benzimidazolone antiviral agents)

RN 406940-52-1 HCAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-3-(1-methylethenyl)- (9CI) (CA INDEX NAME)



NO

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:923615 HCAPLUS

DOCUMENT NUMBER: 136:37623

TITLE: Preparation of imidazopyridine and imidazopyrimidine antiviral agents

INVENTOR(S): Yu, Kuo-Long; **Civiello, Rita L.**; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong;

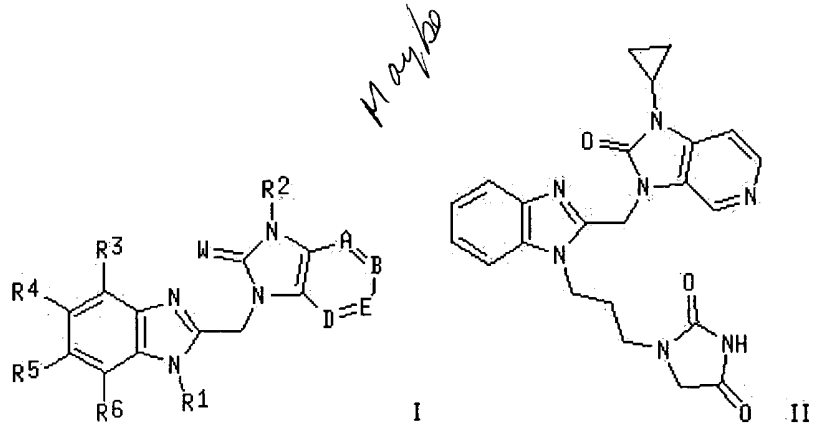
	Meanwell, Nicholas A.; Venables, Brian Lee
PATENT ASSIGNEE(S):	Bristol-Myers Squibb Company, USA
SOURCE:	PCT Int. Appl., 196 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095910	A1	20011220	WO 2001-US14775	20010508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002016309	A1	20020207	US 2001-840279	20010423
US 6489338	B2	20021203		
BR 2001011569	A	20030429	BR 2001-11569	20010508
EP 1311268	A1	20030521	EP 2001-952114	20010508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004503501	T2	20040205	JP 2002-510088	20010508
NO 2002005977	A	20030129	NO 2002-5977	20021212

PRIORITY APPLN. INFO.:	US 2000-211447P	P	20000613
	US 2001-263363P	P	20010122
	WO 2001-US14775	W	20010508

OTHER SOURCE(S): MARPAT 136:37623

GI



I II

AB The title compds. [I; W = O, S; R1 = (CR'R'')nX; X = H, alkyl, cycloalkyl, etc.; n = 2-6; R2 = H, alkyl, cycloalkyl, etc.; R3-R6 = H, halo, alkyl, etc.; A, B, E, D = CH, CQ, N, NO; provided at least one of A, B, E or D is not CH or CQ; Q = halo, alkyl, alkyl substituted with 1-3 halogen atoms; R', R'' = H, alkyl, cycloalkyl, etc.], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepd. Thus, reacting I [W = O; R1 = (CH2)3NH2; R2 = cyclopropyl; R3-R6 = H; E = N; A, B, D = CH] (prepn. given) with N-chloroacetylurethane in the presence of Na2CO3 in MeCN afforded 39%

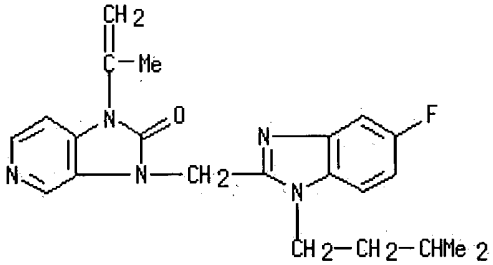
II.TFA. The compds. I showed antiviral activity against RSV with EC50's between 50 μM and 0.001 μM vs. Ribavirin with an EC50 of 3 μM.

IT **380602-42-6P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of imidazopyridine and imidazopyrimidine antiviral agents)

RN 380602-42-6 HCAPLUS

CN 2H-Imidazo[4,5-c]pyridin-2-one, 3-[[5-fluoro-1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-1,3-dihydro-1-(1-methylethenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

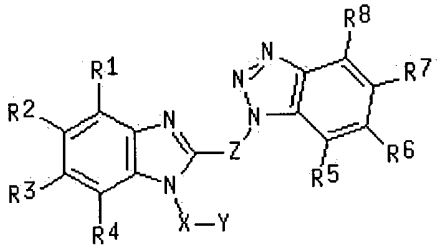
ACCESSION NUMBER: 2000:84617 HCAPLUS
DOCUMENT NUMBER: 132:122625
TITLE: Preparation of substituted benzimidazole antiviral agents
INVENTOR(S): Yu, Kuo-long; **Civiello, Rita Lee**; Krystal, Mark R.; Kadow, Kathleen F.; Meanwell, Nicholas A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004900	A1	20000203	WO 1999-US12398	19990720
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338147	AA	20000203	CA 1999-2338147	19990720
AU 9950809	A1	20000214	AU 1999-50809	19990720
AU 741946	B2	20011213		
EP 1098644	A1	20010516	EP 1999-935302	19990720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

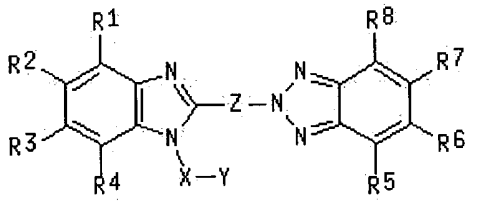
JP 2002521334	T2	20020716	JP 2000-560893		19990720
US 2003139450	A1	20030724	US 2002-289829		20021107
PRIORITY APPLN. INFO.:			US 1998-93387P	P	19980720
			US 1999-354958	B1	19990716
			WO 1999-US12398	W	19990720

OTHER SOURCE(S): MARPAT 132:122625

GI



I



II

nO

AB The title compds. [I and II; R1-R8 = H, alkyl, NO2, etc.; X = straight, branched or cyclic C2-12 alkyl, alkenyl, alkynyl; Y = (un)substituted Ph, dioxolane, pyridine, etc.; XY = CH2Ph, CH2COPh, CH2CHOHPh, etc.; Z = (CR12R13)n; n = 1-4; R12, R13 = H, straight, branched or cyclic alkyl], useful in the treatment of viral infections, particularly, for the treatment of respiratory syncytial virus infection, were prepd. Thus, coupling 1-(1H-benzimidazol-2-ylmethyl)-1H-benzotriazole with 2-dimethylaminoethyl chloride hydrochloride in the presence of NaH in THF afforded 23% I [Z = CH2: XY = (CH2)2NMe2; R1-R8 = H] which showed 100% HEp-2 cell protection against RSV at 4 μg/mL.

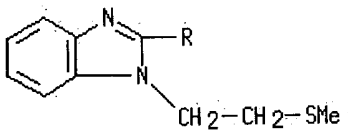
IT **256365-76-1P**

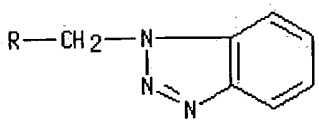
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of substituted benzimidazole antiviral agents)

RN 256365-76-1 HCAPLUS

CN 1H-Benzotriazole, 1-[[1-[2-(methylthio)ethyl]-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



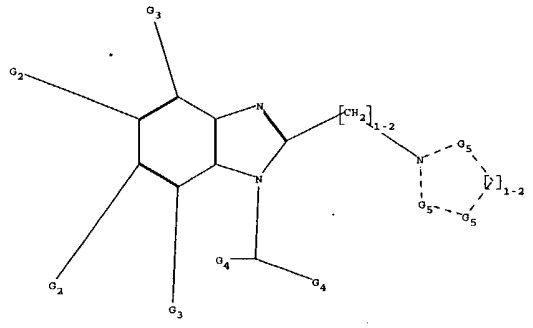


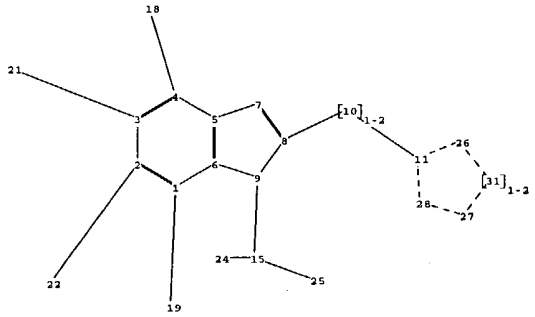
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

C:\stnweb\Queries\333.str

SN 10643411





chain nodes :
10 15 18 19 21 22 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 11 26 27 28 31
chain bonds :
1-19 2-22 3-21 4-18 8-10 9-15 10-11 15-25 15-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-26 11-28 26-31 27-28 27-31
exact/norm bonds :
1-19 2-22 3-21 4-18 5-7 7-8 8-9 9-15 11-26 11-28 15-25 15-24 26-31 27-28
27-31
exact bonds :
6-9 8-10 10-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G2:H,X,Ak

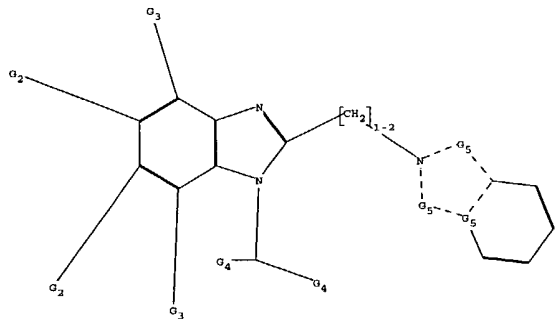
G3:X,H

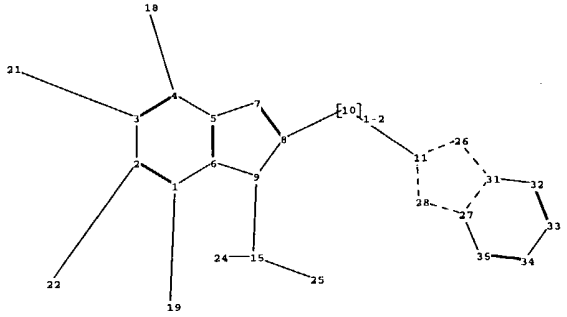
G4:H,Ak

G5:C,O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom
15:CLASS 18:CLASS 19:CLASS 21:CLASS 22:CLASS 24:CLASS 25:CLASS 26:Atom 27:Atom
28:Atom 31:Atom

C:\stnweb\Queries\4.str





chain nodes :
10 15 18 19 21 22 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 11 26 27 28 31 32 33 34 35
chain bonds :
1-19 2-22 3-21 4-18 8-10 9-15 10-11 15-25 15-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-26 11-28 26-31 27-28 27-31 27-35
31-32 32-33 33-34 34-35
exact/norm bonds :
1-19 2-22 3-21 4-18 5-7 7-8 8-9 9-15 11-26 11-28 15-25 15-24 26-31 27-28
27-31 27-35 31-32 32-33 33-34 34-35
exact bonds :
6-9 8-10 10-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G2:H,X,Ak

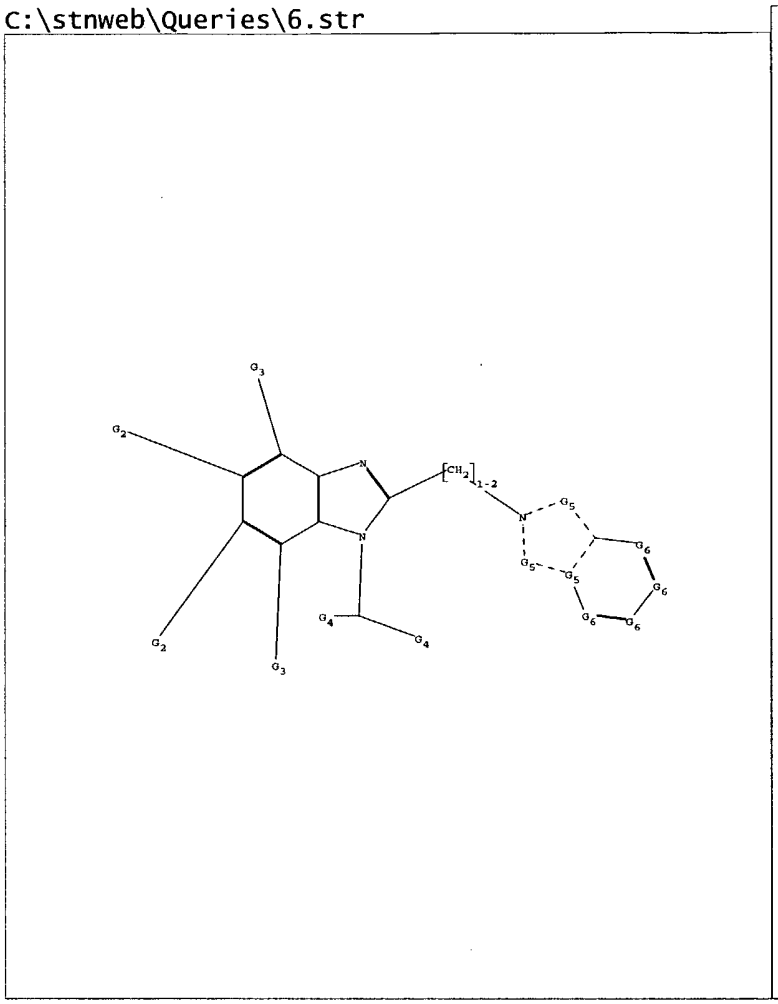
G3:X,H

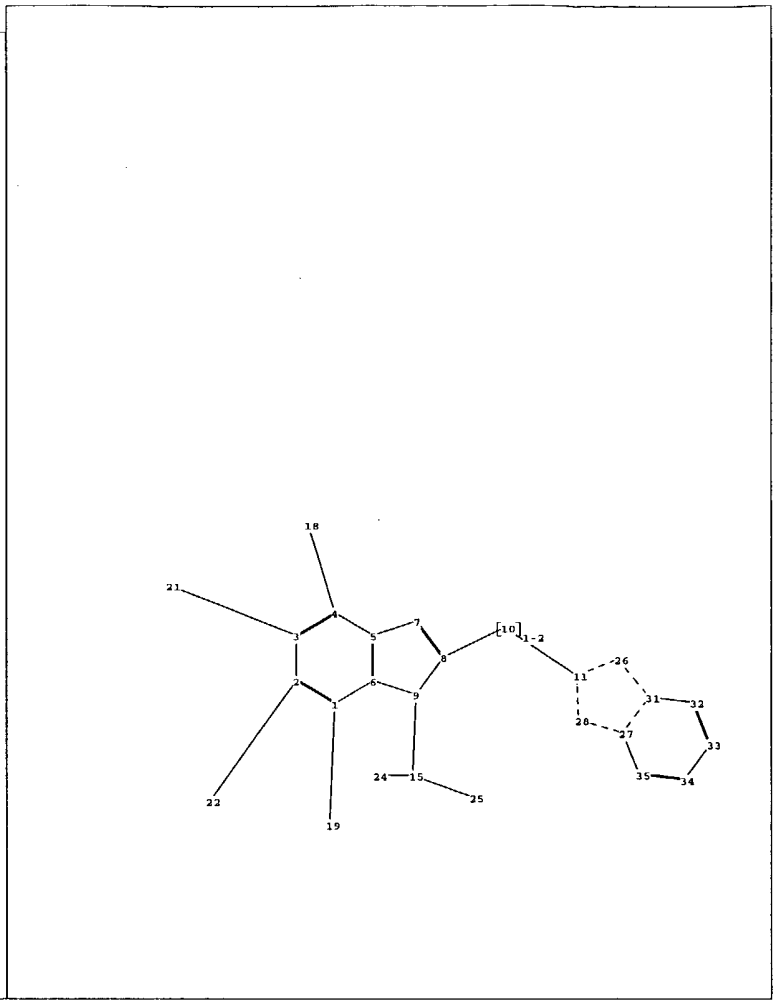
G4:H,Ak

G5:C,O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom
15:CLASS 18:CLASS 19:CLASS 21:CLASS 22:CLASS 24:CLASS 25:CLASS 26:Atom 27:Atom
28:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom

C:\stnweb\Queries\6.str





chain nodes :
10 15 18 19 21 22 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 11 26 27 28 31 32 33 34 35
chain bonds :
1-19 2-22 3-21 4-18 8-10 9-15 10-11 15-25 15-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-26 11-28 26-31 27-28 27-31 27-35
31-32 32-33 33-34 34-35
exact/norm bonds :
1-19 2-22 3-21 4-18 5-7 7-8 8-9 9-15 11-26 11-28 15-25 15-24 26-31 27-28
27-31 27-35 31-32 32-33 33-34 34-35
exact bonds :
6-9 8-10 10-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G2:H,X,Ak

G3:X,H

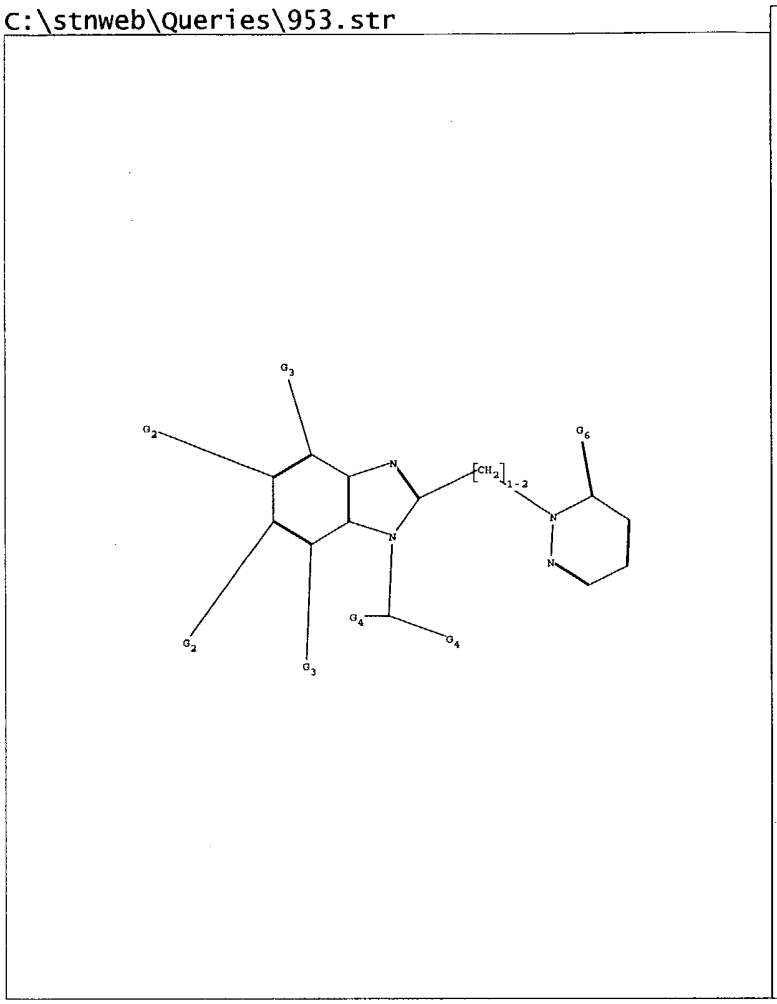
G4:H,Ak

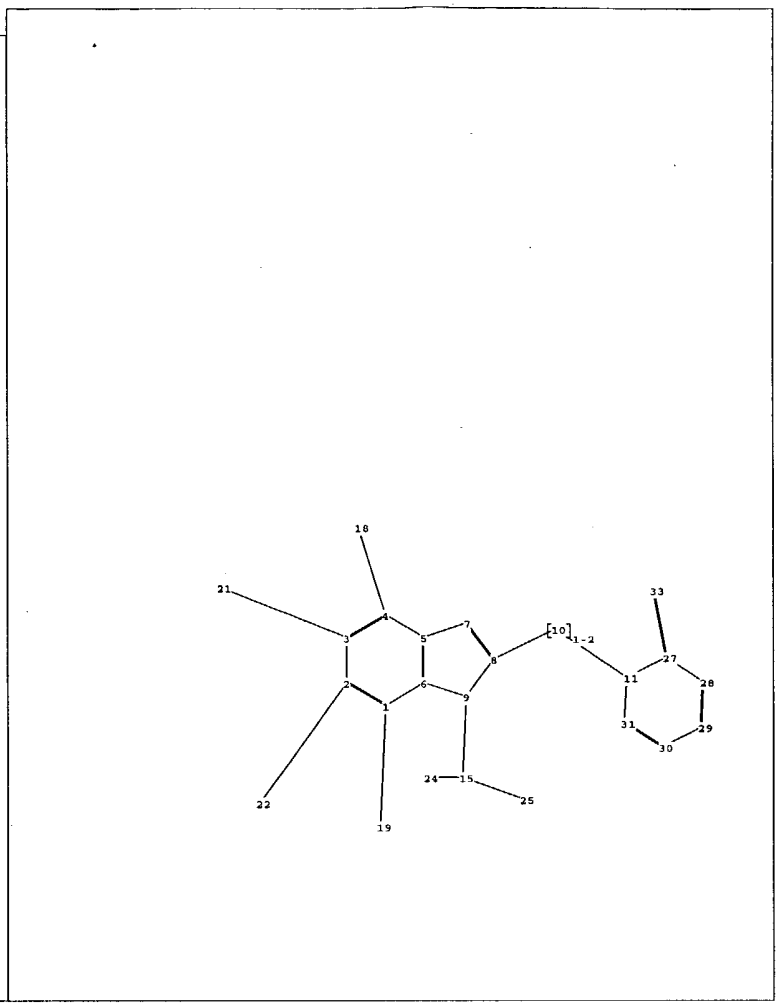
G5:C,O,N

G6:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom
15:CLASS 18:CLASS 19:CLASS 21:CLASS 22:CLASS 24:CLASS 25:CLASS 26:Atom 27:Atom
28:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom

C:\stnweb\Queries\953.str





chain nodes :
10 15 18 19 21 22 24 25 33
ring nodes :
1 2 3 4 5 6 7 8 9 11 27 28 29 30 31
chain bonds :
1-19 2-22 3-21 4-18 8-10 9-15 10-11 15-25 15-24 27-33
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-27 11-31 27-28 28-29 29-30 30-31
exact/norm bonds :
1-19 2-22 3-21 4-18 5-7 7-8 8-9 9-15 11-27 11-31 15-25 15-24 27-28 27-33
28-29 29-30 30-31
exact bonds :
6-9 8-10 10-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G2:H,X,Ak

G3:X,H

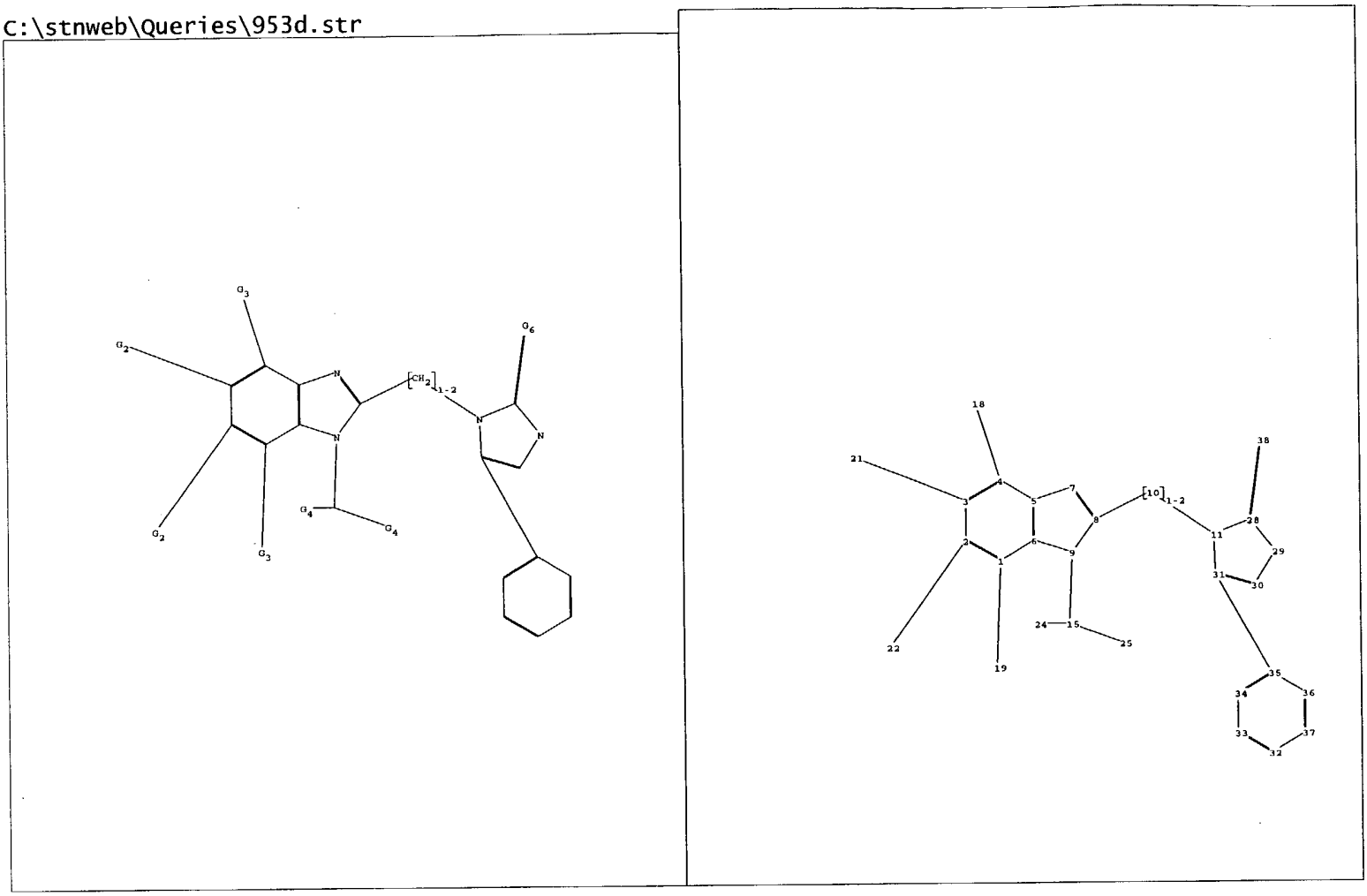
G4:H,Ak

G5:C,O,N

G6:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom
15:CLASS 18:CLASS 19:CLASS 21:CLASS 22:CLASS 24:CLASS 25:CLASS 27:Atom 28:Atom
29:CLASS 30:Atom 31:Atom 33:CLASS

C:\stnweb\Queries\953d.str



chain nodes :
10 15 18 19 21 22 24 25 38
ring nodes :
1 2 3 4 5 6 7 8 9 11 28 29 30 31 32 33 34 35 36 37
chain bonds :
1-19 2-22 3-21 4-18 8-10 9-15 10-11 15-25 15-24 28-38 31-35
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-28 11-31 28-29 29-30 30-31 32-33
32-37 33-34 34-35 35-36 36-37
exact/norm bonds :
1-19 2-22 3-21 4-18 5-7 7-8 8-9 9-15 11-28 11-31 15-25 15-24 28-29 28-38
29-30
exact bonds :
6-9 8-10 10-11 30-31 31-35
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 32-33 32-37 33-34 34-35 35-36 36-37
isolated ring systems :
containing 1 : 11 : 32 :

G2:H,X,Ak

G3:X,H

G4:H,Ak

G5:C,O,N

G6:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom
15:CLASS 18:CLASS 19:CLASS 21:CLASS 22:CLASS 24:CLASS 25:CLASS 28:Atom 29:Atom
30:Atom 31:Atom 32:CLASS 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:CLASS